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BENZO[D]IMIDAZOL-2-Y

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L7            7 S L6 AND (PY<=2004 OR AY<=2004 OR PRY<=2004)
L8            1 S WO 2001095910/PN

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L15           1 S L14 SSS SAM
L16           4 S L14 SSS FULL

     FILE 'CAPLUS' ENTERED AT 10:33:13 ON 08 JUN 2010
L17          16 S L16
L18           8 S L17 AND (PY<=2004 OR AY<=2004 OR PRY<=2004)

L18  ANSWER 1 OF 8  CAPLUS  COPYRIGHT 2010 ACS on STN
GI
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE
PRINT *
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AB The invention is related to a pharmaceutical composition comprising pharmaceutically acceptable carrier or diluent and: (a)

an inhibitor of the respiratory syncytial virus (RSV) fusion protein of formula I [X = H, (un)substituted alkyl; Y = hetero/aryl, alkyl, alkoxy, etc.; Z = CH2 and derivs.; R1 = H, CONH2 and derivs., CO2H and derivs., (un)substituted alkyl; R2 = H, NH2, alkenyl, etc.; R3 = H, alkenyl, CO2H, etc.; Q = 1,2-dihydrobenzotriazol-1-yl, 2,3-dihydroindazol-1-yl, etc.]; and (b) a benzodiazepine derivative of formula II [R1 = alkyl, hetero/aryl; R2 = H, alkyl; each R3 = independently halo, OH, alkyl, alkoxy, NH2, CN, etc.; n = 0-3; R4 = H, alkyl; X = CO, SO, SO2, CONH and derivs.; R5 = (un)substituted hetero/aryl, heterocyclyl] capable of inhibiting RSV replication; the composition provides an additive and synergistic therapeutic effect in treating or preventing an RSV infection. The invention is also related to the preparation of benzodiazepines II. Thus, reacting (S)-3-Amino-5-phenyl-1,3-dihydrobenzo[e][1,4]diazepin-2-one with 2-chloro-4-(morpholin-4-yl)benzoic acid gave (S)-III. The fractional inhibitory concentration (FIC) for benzodiazepine III in combination with benzimidazole IV = 0.3, demonstrating a synergistic interaction.

ACCESSION NUMBER: 2005:1042075 CAPLUS Full-text
DOCUMENT NUMBER: 143:347207
TITLE: Preparation of RSV replication-inhibiting benzodiazepine derivatives for use in pharmaceutical compositions in combination with RSV fusion protein inhibitors
INVENTOR(S): Powell, Kenneth; Kelsey, Richard; Carter, Malcolm; Dowdell, Verity; Alber, Dagmar; Henderson, Elisa
PATENT ASSIGNEE(S): Arrow Therapeutics Limited, UK
SOURCE: PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005089771	A1	20050929	WO 2005-GB1029	20050318 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,

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KR 2007009630 A 20070118 KR 2006-721651
20061018 <--
US 20070185096 A1 20070809 US 2007-593382
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PRIORITY APPLN. INFO.: GB 2004-6279 A
20040319 <--
WO 2005-GB1029 W
20050318
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 143:347207; MARPAT 143:347207
IT 543700-68-1, 1-Cyclopropyl-3-[[1-(4-hydroxybutyl)-1H-
benzimidazol-2-yl]methyl]-1,3-dihydroimidazo[4,5-c]pyridin-2-one
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(preparation of RSV replication-inhibiting benzodiazepine
derivs. for use in
pharmaceutical compns. in combination with RSV fusion protein
inhibitors)
RN 543700-68-1 CAPLUS
CN 2H-Imidazo[4,5-c]pyridin-2-one, 1-cyclopropyl-1,3-dihydro-3-[[1-
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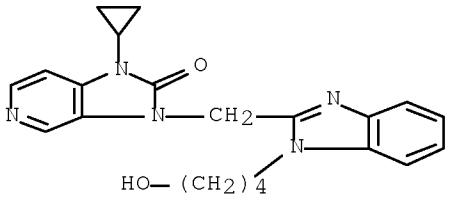
hydroxybutyl)-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
AB A pharmaceutical composition which comprises a pharmaceutically acceptable carrier or diluent and: (a) an inhibitor of the RSV fusion protein; and (b) a benzodiazepine derivative capable of inhibiting RSV replication is highly active against RSV.
ACCESSION NUMBER: 2005:1042073 CAPLUS Full-text
DOCUMENT NUMBER: 143:339599
TITLE: Pharmaceutical composition comprising a benzodiazepine
derivative and an inhibit or of the RSV fusion protein
INVENTOR(S): Powell, Kenneth; Kelsey, Richard; Carter, Malcolm;
Alber, Dagmar; Wilson, Lara; Henderson, Elisa; Chambers, Phil; Taylor, Debra; Tyms, Stan; Dowdell,
Verity
PATENT ASSIGNEE(S): Arrow Therapeutics Limited, UK
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005089769	A1	20050929	WO 2005-GB1018	20050318 <--

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GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,

NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
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AU 2005224157 B2 20090528
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EP 1727550 A1 20061206 EP 2005-718061
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ES 2327757 T3 20091103 ES 2005-718061
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20050318 <--
MX 2006010711 A 20061116 MX 2006-10711
20060919 <--
IN 2006CN03430 A 20070706 IN 2006-CN3430
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KR 2007009629 A 20070118 KR 2006-721650
20061018 <--
US 20070142403 A1 20070621 US 2007-593666
20070312 <--
HK 1098372 A1 20100409 HK 2007-104918
20070508 <--
AU 2009212826 A1 20090917 AU 2009-212826
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PRIORITY APPLN. INFO.: GB 2004-6282 A
20040319 <--
AU 2005-224157 A3
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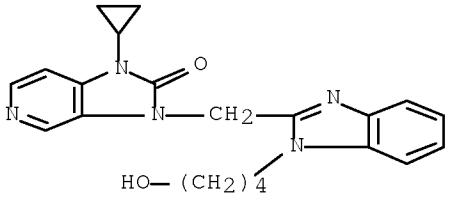
WO 2005-GB1018 W
20050318
OTHER SOURCE(S): MARPAT 143:339599

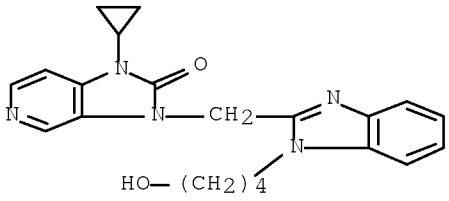
IT 543700-68-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiviral benzodiazepine derivative as inhibitors of RSV fusion protein)
RN 543700-68-1 CAPLUS
CN 2H-Imidazo[4,5-c]pyridin-2-one, 1-cyclopropyl-1,3-dihydro-3-[[1-(4-hydroxybutyl)-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
AB Trimeric class I virus fusion proteins undergo a series of conformational rearrangements that leads to the association of C- and N-terminal heptad repeat domains in a "trimer-of-hairpins" structure, facilitating the apposition of viral and cellular membranes during fusion. This final fusion hairpin structure is sustained by protein-protein interactions, assocns. thought initially to be refractory to small-mol. inhibition because of the large surface area involved. By using a photoaffinity analog of a potent respiratory syncytial virus fusion inhibitor, we directly probed the interaction of the inhibitor with its fusion protein target. Studies have shown that these inhibitors bind within a hydrophobic cavity formed on the surface of the N-terminal heptad-repeat trimer. In the fusogenic state, this pocket is occupied by key amino acid residues from the C-terminal heptad repeat that stabilize the trimer-of-hairpins structure. The results indicate that a low-mol.-weight fusion inhibitor can interfere with the formation or consolidation of key structures within the hairpin moiety that are essential for membrane fusion. Because analogous cavities are present in many class I viruses, including HIV, these results demonstrate the feasibility of this approach as a strategy for drug discovery.
ACCESSION NUMBER: 2004:940278 CAPLUS Full-text
DOCUMENT NUMBER: 141:360245
TITLE: Targeting a binding pocket within the trimer-of-hairpins: Small-molecule inhibition of viral

fusion
AUTHOR(S): Cianci, Christopher; Langley, David R.; Dischino,
Douglas D.; Sun, Yaxiong; Yu, Kuo-Long; Stanley, Anne;
Roach, Julia; Li, Zhufang; Dalterio, Richard; Colonno,
Richard; Meanwell, Nicholas A.; Krystal, Mark
CORPORATE SOURCE: Bristol-Myers Squibb Pharmaceutical Research Institute, Wallingford, CT, 06492, USA
SOURCE: Proceedings of the National Academy of Sciences of the
United States of America (2004), 101(42), 15046-15051
CODEN: PNASA6; ISSN: 0027-8424
PUBLISHER: National Academy of Sciences
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 543700-68-1, BMS-433771
RL: PAC (Pharmacological activity); BIOL (Biological study)
(targeting a binding pocket within the trimer-of-hairpins small-mol.
inhibition of viral fusion)
RN 543700-68-1 CAPLUS
CN 2H-Imidazo[4,5-c]pyridin-2-one, 1-cyclopropyl-1,3-dihydro-3-[[1-(4-
hydroxybutyl)-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 46 THERE ARE 46 CAPLUS RECORDS THAT CITE THIS
RECORD (46 CITINGS)
REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
AB An improved process has been developed for compound 1, a respiratory syncytial virus (RSV) inhibitor. This improved process is convergent, safe, efficient, and useful to prepare compound 1 in kilogram quantities.
ACCESSION NUMBER: 2004:795432 CAPLUS Full-text
DOCUMENT NUMBER: 142:8235
TITLE: Development of an Efficient and Scalable Process of a

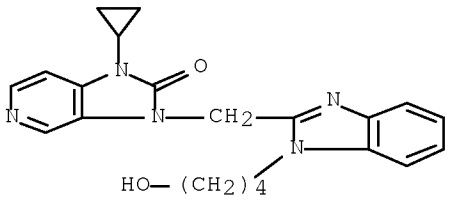
Respiratory Syncytial Virus Inhibitor

AUTHOR(S): Provencal, David P.; Gesenberg, Kirsten D.; Wang, Hua;
Escobar, Carlos; Wong, Henry; Brown, Matthew A.;
Staab, Andrew J.; Pendri, Yadagiri R.
CORPORATE SOURCE: Process Research and Development, Bristol-Myers Squibb
Pharmaceutical Research Institute, Wallingford, CT,
06492, USA

SOURCE: Organic Process Research & Development (2004), 8(6), 903-908
CODEN: OPRDFK; ISSN: 1083-6160
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:8235

IT 543700-68-1P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation);
BIOL (Biological study); PREP (Preparation)
(development of efficient and scalable process of respiratory syncytial
virus inhibitor)

RN 543700-68-1 CAPLUS
CN 2H-Imidazo[4,5-c]pyridin-2-one, 1-cyclopropyl-1,3-dihydro-3-[[1-(4-
hydroxybutyl)-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)



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REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN

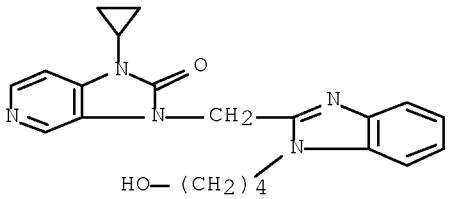
AB BMS-433771 is a potent inhibitor of respiratory syncytial virus (RSV) replication in vitro. Mechanism of action studies have demonstrated that BMS-433771 halts virus entry through inhibition of F protein-mediated membrane fusion. BMS-433771 also exhibited in vivo efficacy following oral administration in a mouse model of RSV infection. In this report, the in vivo efficacy of BMS-433771

against RSV was further examined in the BALB/c mouse and cotton rat host models of infection. By using the Long strain of RSV, prophylactic efficacy via oral dosing was observed in both animal models. A single oral dose, administered 1 h prior to intranasal RSV inoculation, was as effective against infection as a 4-day b.i.d. dosing regimen in which the first oral dose was given 1 h prior to virus inoculation. Results of dose titration expts. suggested that RSV infection was more sensitive to inhibition by BMS-433771 treatment in the BALB/c mouse host than in the cotton rat. This was reflected by the pharmacokinetic and pharmacodynamic anal. of the efficacy data, where the area under the concentration-time curve required to achieve 50% of the maximum response was .apprx.7.5-fold less for mice than for cotton rats. Inhibition of RSV by BMS-433771 in the mouse is the result of F1-mediated inhibition, as shown by the fact that a virus selected for resistance to BMS-433771 in vitro and containing a single amino acid change in the F1 region was also refractory to treatment in the mouse host. BMS-433771 efficacy against RSV infection was also demonstrated for mice that were chemical immunosuppressed by cyclophosphamide treatment, indicating that compound inhibition of the virus did not require an active host immune response.

ACCESSION NUMBER: 2004:551548 CAPLUS Full-text
DOCUMENT NUMBER: 141:99121
TITLE: Oral efficacy of a respiratory syncytial virus inhibitor in rodent models of infection
AUTHOR(S): Cianci, Christopher; Genovesi, Eugene V.; Lamb, Lucinda; Medina, Ivette; Yang, Zheng; Zadjura, Lisa; Yang, Hyekyung; D'Arienzo, Celia; Sin, Ny; Yu, Kuo-Long; Combrink, Keith; Li, Zhufang; Colonno, Richard; Meanwell, Nicholas; Clark, Junius; Krystal, Mark
CORPORATE SOURCE: The Bristol-Myers Squibb Pharmaceutical Research Institute, Wallingford, CT, 06492, USA
SOURCE: Antimicrobial Agents and Chemotherapy (2004), 48(7), 2448-2454
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 543700-68-1, BMS-433771
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oral efficacy of respiratory syncytial virus inhibitor in rodent models of infection)

RN 543700-68-1 CAPLUS
CN 2H-Imidazo[4,5-c]pyridin-2-one, 1-cyclopropyl-1,3-dihydro-3-[[1-(4-hydroxybutyl)-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)



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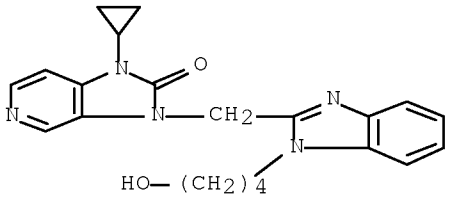
REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN

AB BMS-433771 was a potent inhibitor of respiratory syncytial virus (RSV) replication in vitro. It exhibited excellent potency against multiple laboratory and clin. isolates of both group A and B viruses, with an average 50% effective concentration of 20 nM. Mechanism-of-action studies demonstrated that BMS-433771 inhibits the fusion of lipid membranes during both the early virus entry stage and late-stage syncytium formation. After isolation of resistant viruses, resistance was mapped to a series of single amino acid mutations in the F1 subunit of the fusion protein. Upon oral administration, BMS-433771 was able to reduce viral titers in the lungs of mice infected with RSV. This new class of orally active RSV fusion inhibitors offers potential for clin. development.

ACCESSION NUMBER: 2004:115618 CAPLUS Full-text
DOCUMENT NUMBER: 141:466
TITLE: Orally active fusion inhibitor of respiratory syncytial virus
AUTHOR(S): Cianci, Christopher; Yu, Kuo-Long; Combrink, Keith; Sin, Ny; Pearce, Bradley; Wang, Alan; Civiello, Rita; Voss, Stacey; Luo, Guangxiang; Kadow, Kathy; Genovesi, Eugene V.; Venables, Brian; Gulgeze, Hatice; Trehan, Ashok; James, Jennifer; Lamb, Lucinda; Medina, Ivette; Roach, Julia; Yang, Zheng; Zadjura, Lisa; Colonno, Richard; Clark, Junius; Meanwell, Nicholas; Krystal, Mark
CORPORATE SOURCE: The Bristol-Myers Squibb Pharmaceutical Research Institute, Wallingford, CT, 06492, USA
SOURCE: Antimicrobial Agents and Chemotherapy (2004), 48(2), 413-422

CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 543700-68-1, BMS 433771
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU
(Therapeutic use); BIOL (Biological study); USES (Uses)
(orally active fusion inhibitor of respiratory syncytial virus)
RN 543700-68-1 CAPLUS
CN 2H-Imidazo[4,5-c]pyridin-2-one, 1-cyclopropyl-1,3-dihydro-3-[[1-(4-
hydroxybutyl)-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)



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RECORD (51 CITINGS)
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RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
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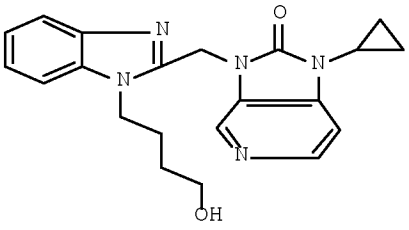

I

AB Pharmaceutical dosage forms containing a novel crystalline bishydrochloride monohydrate salt of an imidazopyridine derivative (I) are useful in the treatment of respiratory syncytial viral infection. Thus, the imidazopyridine derivative was treated with concentrate HCl solution in isopropanol and water to give I.

Capsules contained 10 and 50 mg-free base equivalent of the bishydrochloride monohydrate salt .

ACCESSION NUMBER: 2003:472345 CAPLUS Full-text
DOCUMENT NUMBER: 139:41819
TITLE: Bishydrochloride monohydrate salt of an imidazopyridine derivative as RSV fusion inhibitor
INVENTOR(S): Gesenberg, Christoph; Provencal, David Paul; Venkatesh, Srinivasan; Wang, Hua
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003049688	A2	20030619	WO 2002-US38956	20021205 <--
WO 2003049688	A3	20031106		
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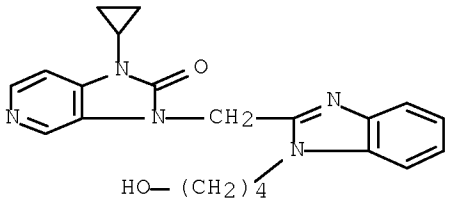
US 20030114481	A1	20030619	US 2002-310274	20021205 <--
US 6737428	B2	20040518		
AU 2002351255	A1	20030623	AU 2002-351255	20021205 <--
EP 1461036	A2	20040929	EP 2002-786903	20021205 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
HU 2004002535	A2	20050428	HU 2004-2535	20021205 <--
JP 2005511714	T	20050428	JP 2003-550739	20021205 <--
PRIORITY APPLN. INFO.:			US 2001-338988P	P 20011210 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

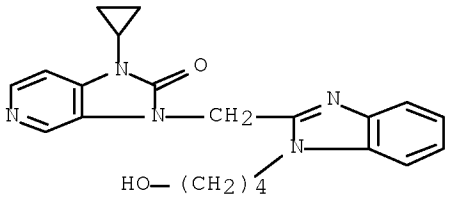
IT 543700-67-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(bishydrochloride monohydrate salt of imidazopyridine derivative as RSV
fusion inhibitor)
RN 543700-67-0 CAPLUS
CN 2H-Imidazo[4,5-c]pyridin-2-one, 1-cyclopropyl-1,3-dihydro-3-[[1-(4-
hydroxybutyl)-1H-benzimidazol-2-yl]methyl]-, hydrochloride, hydrate
(1:2:1) (CA INDEX NAME)



●2 HCl

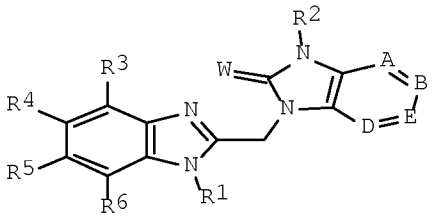
● H2O

IT 543700-68-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(bishydrochloride monohydrate salt of imidazopyridine derivative as RSV
fusion inhibitor)
RN 543700-68-1 CAPLUS
CN 2H-Imidazo[4,5-c]pyridin-2-one, 1-cyclopropyl-1,3-dihydro-3-[[1-(4-
hydroxybutyl)-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
GI



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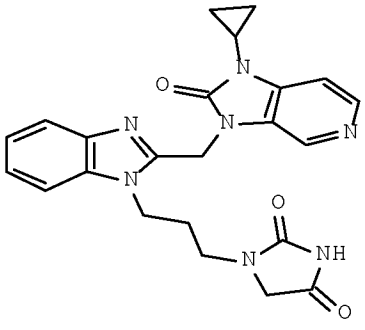

II

AB The title compds. [I; W = O, S; R1 = (CR'R'')nX; X = H, alkyl, cycloalkyl, etc.; n = 2-6; R2 = H, alkyl, cycloalkyl, etc.; R3-R6 = H, halo, alkyl, etc.; A, B, E, D = CH, CQ, N, NO; provided at least one of A, B, E or D is not CH or CQ; Q = halo, alkyl, alkyl substituted with 1-3 halogen atoms; R', R'' = H, alkyl, cycloalkyl, etc.], useful in the treatment of viral infections, more particularly, for the treatment of respiratory syncytial virus infection, were prepared Thus, reacting I [W = O; R1 = (CH2)3NH2; R2 = cyclopropyl; R3-R6 = H; E = N; A, B, D = CH] (preparation given) with N-chloroacetylurethane in the presence of Na2CO3 in MeCN afforded 39% II.TFA. The compds. I showed antiviral activity against RSV with EC50's between 50 μM and 0.001 μM vs. Ribavirin with an EC50 of 3 μM.

ACCESSION NUMBER: 2001:923615 CAPLUS Full-text
DOCUMENT NUMBER: 136:37623
TITLE: Preparation of imidazopyridine and imidazopyrimidine
antiviral agents
INVENTOR(S): Yu, Kuo-Long; Civiello, Rita L.; Combrink, Keith D.;
Gulgeze, Hatice Belgin; Sin, Ny; Wang, Xiangdong;
Meanwell, Nicholas A.; Venables, Brian Lee
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 196 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001095910	A1	20011220	WO 2001-US14775	20010508 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 20020016309	A1	20020207	US 2001-840279	20010423 <--
US 6489338	B2	20021203		
CA 2412327	A1	20011220	CA 2001-2412327	20010508 <--
BR 2001011569	A	20030429	BR 2001-11569	20010508 <--
EP 1311268	A1	20030521	EP 2001-952114	20010508 <--
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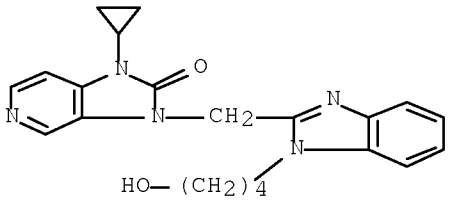
JP 2004503501	T	20040205	JP 2002-510088	20010508 <--
HU 2004000766	A2	20040728	HU 2004-766	20010508 <--
AU 2001272906	B2	20040826	AU 2001-272906	20010508 <--
NZ 523566	A	20040827	NZ 2001-523566	20010508 <--
MX 2002012204	A	20031015	MX 2002-12204	20021210 <--
IN 2002MN01766	A	20050204	IN 2002-MN1766	20021210 <--
NO 2002005977	A	20030129	NO 2002-5977	20021212 <--
ZA 2002010104	A	20040312	ZA 2002-10104	20021212 <--
PRIORITY APPLN. INFO.:			US 2000-211447P	P 20000613 <--

US 2001-263363P P
20010122 <--
WO 2001-US14775 W
20010508 <--
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 136:37623
IT 380603-12-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of imidazopyridine and imidazopyrimidine antiviral agents)
RN 380603-12-3 CAPLUS
CN 2H-Imidazo[4,5-c]pyridin-2-one, 1-cyclopropyl-1,3-dihydro-3-[[1-(4-hydroxybutyl)-1H-benzimidazol-2-yl]methyl]-, hydrochloride (4:5) (CA INDEX NAME)



●5/4 HCl

IT 380603-68-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazopyridine and imidazopyrimidine antiviral agents)
RN 380603-68-9 CAPLUS
CN 2H-Imidazo[4,5-c]pyridin-2-one, 1-cyclopropyl-1,3-dihydro-3-[[1-(4-hydroxybutyl)-4-methyl-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)